

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
31 March 2005 (31.03.2005)

PCT

(10) International Publication Number
WO 2005/029035 A3

(51) International Patent Classification⁷: **A61K 38/16,**
G01N 33/567

(21) International Application Number:
PCT/US2004/030261

(22) International Filing Date:
16 September 2004 (16.09.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/503,447 16 September 2003 (16.09.2003) US

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(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

Published:

— with international search report

(88) Date of publication of the international search report:
16 June 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: METHODS OF SCREENING COMPOSITIONS FOR G PROTEIN-COUPLED RECEPTOR AGONIST ACTIVITY

(57) Abstract: Methods of screening compositions for G protein-coupled receptor ("GPCR") agonist activity against two or more GPCRs in a multiplex receptor assay format are disclosed. One or more cells expressing at least two different GPCRs are exposed to a test composition and it is determined whether or not the composition gives an indication of GPCR agonist activity with respect to any of the at least two different GPCRs. Each of the one or more cells also includes one or more conjugates comprising a marker molecule and a protein associated with the GPCR desensitization pathway of one or more of the GPCRs; that are being used to screen the composition for GPCR agonist activity. The conjugate or conjugates are used to indicate, through the use of the marker molecule, GPCR agonist activity of the test composition with respect to each of the GPCRs that are being used to screen the test composition.